Uploading C:\Program Files\Stnexp\Queries\10800065.str

L1 STRUCTURE UPLOADED

STR

=> d

L1 HAS NO ANSWERS

L1

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

G1 H, Me

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

FULL SEARCH INITIATED 20:16:27 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 25 TO ITERATE

100.0% PROCESSED 25 ITERATIONS

6 ANSWERS

SEARCH TIME: 00.00.01

L2 6 SEA SSS FUL L1

=> d 12 1-6

L2 ANSWER 1 OF 6 REGISTRY COPYRIGHT 2006 ACS on STN

RN 757972-86-4 REGISTRY

ED Entered STN: 07 Oct 2004

CN Cyclopentanepropanoic acid, 1-[[[3-(2-methyl-6-

benzothiazolyl)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C20 H26 N2 O3 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 2 OF 6 REGISTRY COPYRIGHT 2006 ACS on STN

RN 757972-85-3 REGISTRY

ED Entered STN: 07 Oct 2004

CN Cyclohexanepropanoic acid, 1-[[[3-(2-methyl-6-

benzothiazolyl)propyl]amino]carbonyl] - (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C21 H28 N2 O3 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 3 OF 6 REGISTRY COPYRIGHT 2006 ACS on STN

RN 757972-84-2 REGISTRY

ED Entered STN: 07 Oct 2004

CN Cyclopentanepropanoic acid, 1-[[[3-(2-ethyl-6-benzothiazolyl)propyl]amino]carbonyl]- α -methyl-, (α R)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C22 H30 N2 O3 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 4 OF 6 REGISTRY COPYRIGHT 2006 ACS on STN

RN 757972-83-1 REGISTRY

ED Entered STN: 07 Oct 2004

CN Cyclohexanepropanoic acid, 1-[[[3-(2-ethyl-6-benzothiazolyl)propyl]amino]c arbonyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C22 H30 N2 O3 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 5 OF 6 REGISTRY COPYRIGHT 2006 ACS on STN

RN 757972-82-0 REGISTRY

ED Entered STN: 07 Oct 2004

CN Cyclopentanepropanoic acid, 1-[[[3-(2-ethyl-6-

benzothiazolyl)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C21 H28 N2 O3 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 6 OF 6 REGISTRY COPYRIGHT 2006 ACS on STN

RN 757972-81-9 REGISTRY

ED Entered STN: 07 Oct 2004

CN Cyclopentanepropanoic acid, α-methyl-1-[[[3-(2-methyl-6-benzothiazolyl)propyllaminolcarbonyll- (αR)- (ΩCI) (CA IND

benzothiazolyl)propyl]amino]carbonyl]-, (αR) - (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C21 H28 N2 O3 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry. Rotation (-).

- 1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:759835 CAPLUS

DOCUMENT NUMBER: 141:277616

TITLE: Preparation of 3-(1-[3-(1,3-benzothiazol-6-

yl)propylcarbamoyl]cycloalkyl)propanoic acid

derivatives as nep inhibitors

INVENTOR(S): Hepworth, David PATENT ASSIGNEE(S): Pfizer Inc., UK

SOURCE: U.S. Pat. Appl. Publ., 27 pp., which

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND		DATE		APPLICATION NO.									
		2004180941				A1		20040916		US 2004-800065				20040312				
AU	2004	2004220269				A1 200409			AU 2004-220269					20040309				
CA	2519	2519072				AA 20040923			CA 2004-2519072					20040309				
WO	2004080985				A1 20040923			WO 2004-IB822					20040309					
											, BG,							
		CN,	CO,	CR,	CU,	CZ	DE,	DK,	DM,	DZ	EC,	EE,	EG,	ES,	FI,	GB,	GD.	
		GE,	GH,	GM,	HR,	HU	ID,	IL,	IN,	IS	JP,	KE,	KG,	KP.	KR.	KZ.	LC.	
											MK,							
											, SC,							
											UZ,							
	RW:										sz,							
											, BG,							
											MC,							
		SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA	GN,	GQ,	GW,	ML,	MR,	NE,	SN.	
		TD,											•	·	·	•	•	
EP	1606272			A1 20051221			EP 2004-718706					20040309						
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
											TR,							
BR	BR 2004008377				Α				BR 2004-8377									
	CN 1761656						2006	0419		CN 2	2004 -	8000	6939		2	0040	309	
NL	NL 1025709 NL 1025709				A1		2004		NL 2004-1025709				20040312					
NL	NL 1025709				C2		2005	0314										
NO 2005004169				Α		2005	1207		NO 2	2005-	4169			2	0050	907		
PRIORITY APPLN. INFO.:									GB 2	2003-	5916		1	A 2	0030	314		
											2003-					0030	422	
										GB 2	2003-	2914:	3	1	A 2	0031	216	
											2004-					0040	120	
									1	WO 2	2004-	IB822	2	1	A 20	0040	309	
OTHER SOURCE(S):				MARPAT 141:27761														

OTHER SOURCE(S): MARPAT 141:277616

GI

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

$$\begin{array}{c|c} \text{Me} & & \\ \text{HO} & & \\ \text{N} & & \\ \end{array}$$

AB The invention relates to the use of title compds. I [R1 = H or Me; R2 = Me or Et; n = 1 or 2] as inhibitors of neutral endopeptidase enzyme (NEP), processes for the preparation thereof, intermediates used in the preparation thereof

and compns. containing said inhibitors. Thus, e.g., II was prepared by amidation of 1-[(2R)-3-tert-butoxy-2-methyl-3-oxopropyl]cyclopentane carboxylic acid with 3-(2-methyl-1,3-benzothiazol-6-yl)propylamine dihydrochloride (preparation given) with subsequent hydrolysis to provide the free acid. I have been demonstrated to possess IC50 values of <20 nanomolar in tests for NEP inhibition and demonstrate a selectivity over soluble secreted endopeptidase (SEP) of at least 1000 fold. These inhibitors have utility in a variety of therapeutic areas including the treatment of male and female sexual dysfunction, particularly female sexual dysfunction (FSD), especially wherein the FSD is female sexual arousal disorder (FSAD).

Ι

ΙI

TT 757972-81-9P 757972-82-0P 757972-83-1P 757972-84-2P 757972-85-3P 757972-86-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of ([(benzothiazolyl)propylcarbamoyl]cycloalkyl
)propanoic acid derivs. as inhibitors of neutral endopeptidase enzyme)
757972-81-9 CAPLUS

CN Cyclopentanepropanoic acid, α -methyl-1-[[[3-(2-methyl-6-benzothiazolyl)propyl]amino]carbonyl]-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 757972-82-0 CAPLUS

RN

CN

Cyclopentanepropanoic acid, 1-[[[3-(2-ethyl-6-benzothiazolyl)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 757972-83-1 CAPLUS

RN 757972-84-2 CAPLUS

CN Cyclopentanepropanoic acid, 1-[[[3-(2-ethyl-6-benzothiazolyl)propyl]amino]carbonyl]- α -methyl-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 757972-85-3 CAPLUS

CN Cyclohexanepropanoic acid, 1-[[[3-(2-methyl-6-benzothiazolyl)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \bigcirc & \bigcirc \\ & \bigcirc & \bigcirc \\ & \square \\ \\$$

RN 757972-86-4 CAPLUS

CN Cyclopentanepropanoic acid, 1-[[[3-(2-methyl-6-benzothiazolyl)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)